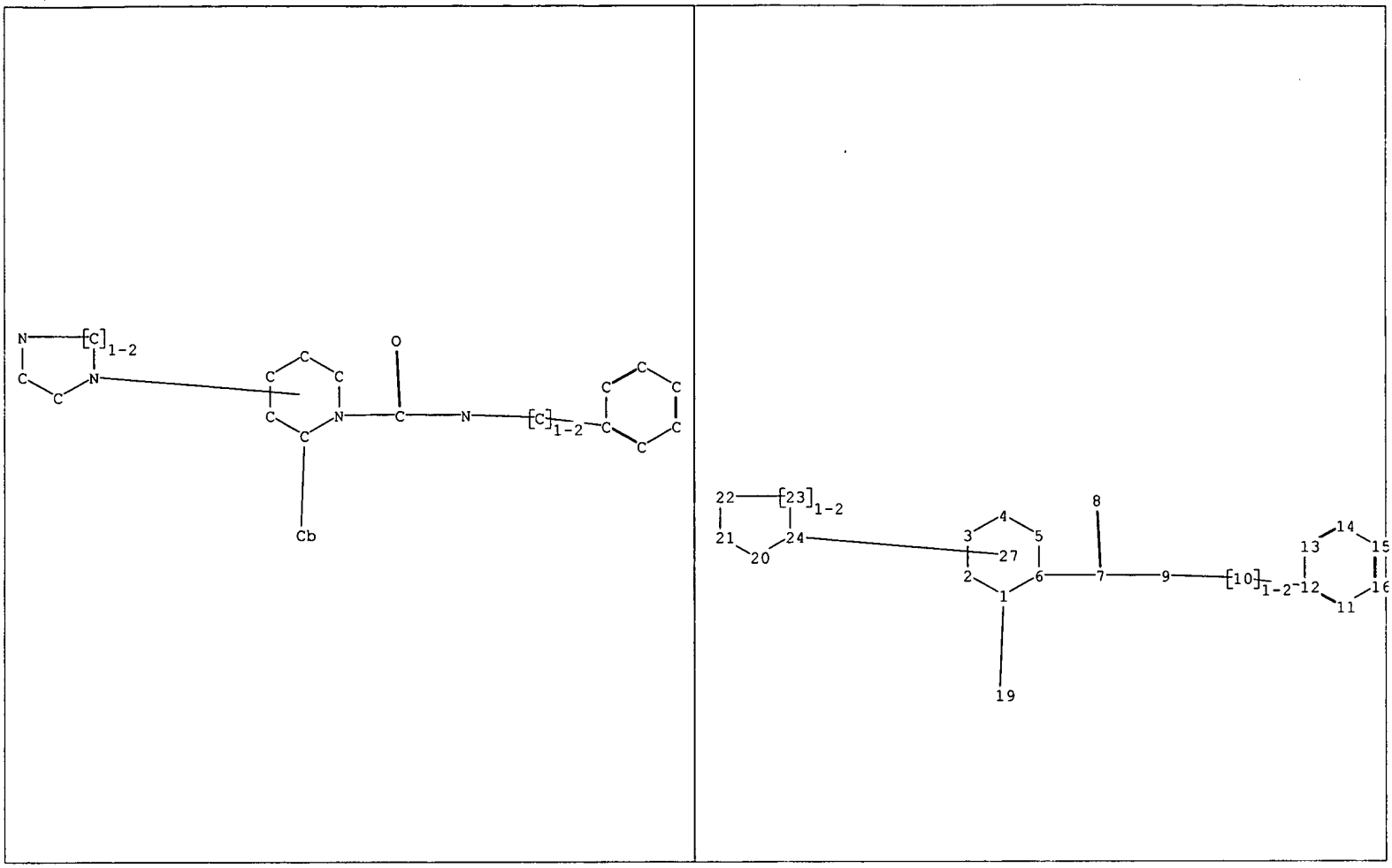
C:\STNEXP\Queries\10502261.str



chain nodes :
7 8 9 10 19
ring nodes :
1 2 3 4 5 6 11 12 13 14 15 16 20 21 22 23 24
chain bonds :
1-19 6-7 7-8 7-9 9-10 10-12
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 11-12 11-16 12-13 13-14 14-15 15-16 20-21 20-24
21-22 22-23 23-24
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 5-6 6-7 7-8 7-9 9-10 20-21 20-24 21-22 22-23 23-24
exact bonds :
1-19 10-12
normalized bonds :
11-12 11-16 12-13 13-14 14-15 15-16

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 19:Atom 20:Atom 21:Atom 22:Atom
23:Atom 24:Atom 27:CLASS
Generic attributes :
19:
Saturation : Unsaturated
Number of Carbon Atoms : less than 7
Type of Ring System : Monocyclic

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=> s l1
SAMPLE SEARCH INITIATED 23:48:05 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      553 TO ITERATE

100.0% PROCESSED      553 ITERATIONS                                5 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         9650 TO    12470
PROJECTED ANSWERS:               5 TO      234

L2            5 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 23:48:23 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    11076 TO ITERATE

100.0% PROCESSED    11076 ITERATIONS                              107 ANSWERS
SEARCH TIME: 00.00.01

L3          107 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   167.38     167.59

FILE 'CAPLUS' ENTERED AT 23:48:30 ON 29 OCT 2006
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FILE LAST UPDATED: 27 Oct 2006  (20061027/ED)

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=> s l3
L4            8 L3

=> d l4 1-8 bib   abs fhitstr

L4   ANSWER 1 OF 8  CAPLUS  COPYRIGHT 2006 ACS on STN
AN   2006:577766  CAPLUS
DN   145:21223
TI   The use of medicament 4-(S)-(4-acetyl-piperazin-1-yl)-2-(R)-(4-fluoro-2-
     methyl-phenyl)-piperidine-1-carboxylic acid, [1-(R)-(3,5-bis-
```

trifluoromethyl-phenyl)-ethyl]-methylamide
IN Brooks, David Patrick
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 12 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006061233	A1	20060615	WO 2005-EP13205	20051207

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

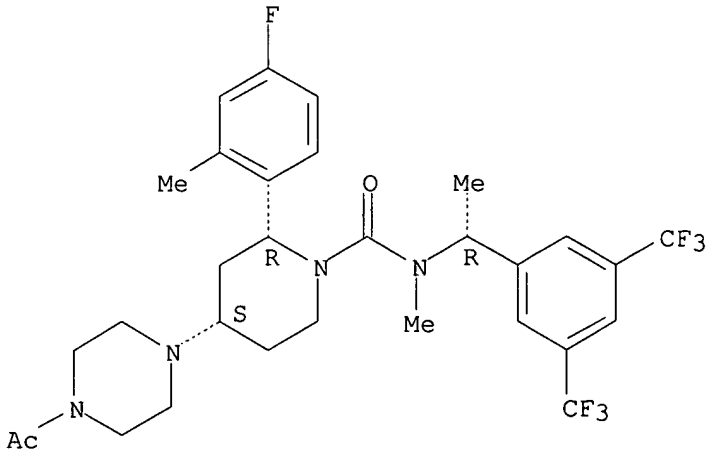
PRAI GB 2004-26942 A 20041208

AB The invention relates to a new medical use 4-(S)-(4-Acetyl-piperazin-1-yl)-2-(R)-(4-fluoro-2-methyl-phenyl)-piperidine-1-carboxylic acid, [1-(R)-(3,5-bis-trifluoromethyl-phenyl)-ethyl]-methylamide or pharmaceutically acceptable salts or solvates thereof and pharmaceutical compns. containing it, in the treatment of overactive bladder.

IT 414910-27-3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(use of acetylpiperazinylfluoromethylphenylpiperidinecarboxylic acid bistrifluoromethylphenylethylmethylamide for treatment of overactive bladder disease)

RN 414910-27-3 CAPLUS
CN 1-Piperidinecarboxamide, 4-(4-acetyl-1-piperazinyl)-N-[(1R)-1-[3,5-bis(trifluoromethyl)phenyl]ethyl]-2-(4-fluoro-2-methylphenyl)-N-methyl-, (2R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



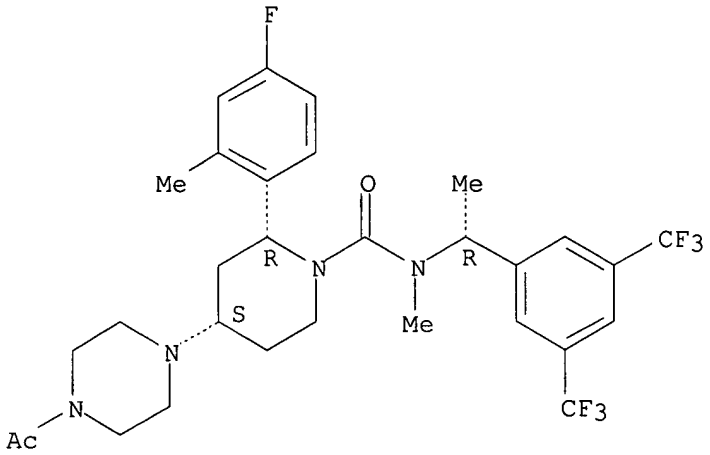
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:902184 CAPLUS
DN 141:384292
TI Combinations of paroxetine and 4-(S)-(4-acetylpiperazin-1-yl)-2-(R)-(4-fluoro-2-methylphenyl)piperidine-1-carboxylic acid [1-(R)-(3,5-bistrifluoromethylphenyl)ethyl]methylamide for treatment of depression and /or anxiety
IN Melotto, Sergio
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004091616	A1	20041028	WO 2004-EP4122	20040416
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2004229179	A1	20041028	AU 2004-229179	20040416
	CA 2522311	AA	20041028	CA 2004-2522311	20040416
	BR 2004009377	A	20060425	BR 2004-9377	20040416
	EP 1653956	A1	20060510	EP 2004-727896	20040416
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR				
	CN 1809355	A	20060726	CN 2004-80017162	20040416
	JP 2006523650	T2	20061019	JP 2006-505186	20040416
	NO 2005005368	A	20051114	NO 2005-5368	20051114
	US 2006217395	A1	20060928	US 2006-552871	20060523
PRAI	GB 2003-8968	A	20030417		
	WO 2004-EP4122	W	20040416		

AB The present invention relates to therapeutic combinations comprising paroxetine or salts or solvates and 4-(S)-(4-acetylpiperazin-1-yl)-2-(R)-(4-fluoro-2-methylphenyl)piperidine-1-carboxylic acid [1-(R)-(3,5-bistrifluoromethylphenyl)ethyl]methylamide (I) or salts or solvates thereof, and to pharmaceutical compns. containing the combinations and their use in the treatment of depression and /or anxiety. Thus, tablets contained I methansulfonate 5, paroxetine-HCl hemihydrate 7.5, dibasic calcium phosphate 120.75, Mg stearate 1.5, Crospovidone 4.5, and colloidal silica 0.75 mg.
IT 414910-27-3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combinations of paroxetine and piperazinyl(methylphenyl)piperidinecarboxylic acid bis(trifluoromethylphenyl)ethylmethylamide for treatment of depression and /or anxiety)
RN 414910-27-3 CAPLUS
CN 1-Piperidinecarboxamide, 4-(4-acetyl-1-piperazinyl)-N-[(1R)-1-[3,5-bis(trifluoromethyl)phenyl]ethyl]-2-(4-fluoro-2-methylphenyl)-N-methyl-, (2R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:902183 CAPLUS
DN 141:384291
TI Combinations of paroxetine and 2-(R)-(4-fluoro-2-methylphenyl)-4-(S)-((8aS)-6-oxohexahydropyrrolo[1,2-a]pyrazin-2-yl)piperidine-1-carboxylic acid [1-(R)-(3,5-bistrifluoromethylphenyl)ethyl]methylamide for treatment of depression/anxiety
IN Melotto, Sergio; Corsi, Mauro; Carletti, Renzo
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 4

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004091615	A1	20041028	WO 2004-EP4121	20040416
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1615641	A1	20060118	EP 2004-739085	20040416
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
JP 2006523649	T2	20061019	JP 2006-505185	20040416
PRAI GB 2003-8968	A	20030417		
WO 2004-EP4121	W	20040416		

AB The present invention relates to therapeutic combinations comprising paroxetine or salts or solvates thereof and 2-(R)-(4-fluoro-2-methylphenyl)-4-(S)-((8aS)-6-oxohexahydropyrrolo[1,2-a]pyrazin-2-yl)piperidine-1-carboxylic acid [1-(R)-(3,5-bistrifluoromethylphenyl)ethyl]methylamide (I) or salts or solvates thereof, and to pharmaceutical compns. containing the combinations and their use in the treatment of depression and /or anxiety. Thus, tablets contained paroxetine-HCl

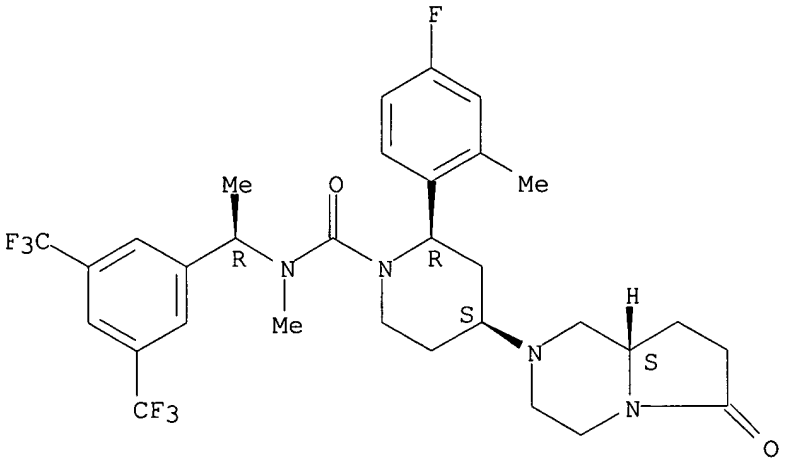
hemihydrate 7.5, I-HCl 1, dibasic calcium phosphate 106.75, Mg stearate 1.5, Crospovidone 4.5, and colloidal silica 0.75 mg.

IT 579475-18-6
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combinations of paroxetine and (oxohexahydropyrrolopyrazinyl)piperidinecarboxylic acid (bistrifluoromethylphenyl)ethylmethylamide for treatment of depression/anxiety)

RN 579475-18-6 CAPLUS

CN 1-Piperidinecarboxamide, N-[(1R)-1-[3,5-bis(trifluoromethyl)phenyl]ethyl]-2-(4-fluoro-2-methylphenyl)-4-[(8aS)-hexahydro-6-oxopyrrolo[1,2-a]pyrazin-2(1H)-yl]-N-methyl-, (2R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:648421 CAPLUS
DN 141:167799
TI Use of NK1 receptor antagonists for the treatment of functional dyspepsia
IN Dukes, George Earl; Hicks, Gareth Andrew; Sanger, Gareth John
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 16 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004067093	A2	20040812	WO 2004-EP752	20040126
	WO 2004067093	A3	20041028		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
	EP 1594574	A2	20051116	EP 2004-705094	20040126
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	JP 2006516581	T2	20060706	JP 2006-501644	20040126
PRAI	GB 2003-1841	A	20030127		
	GB 2003-1842	A	20030127		
	WO 2004-EP752	W	20040126		

OS MARPAT 141:167799

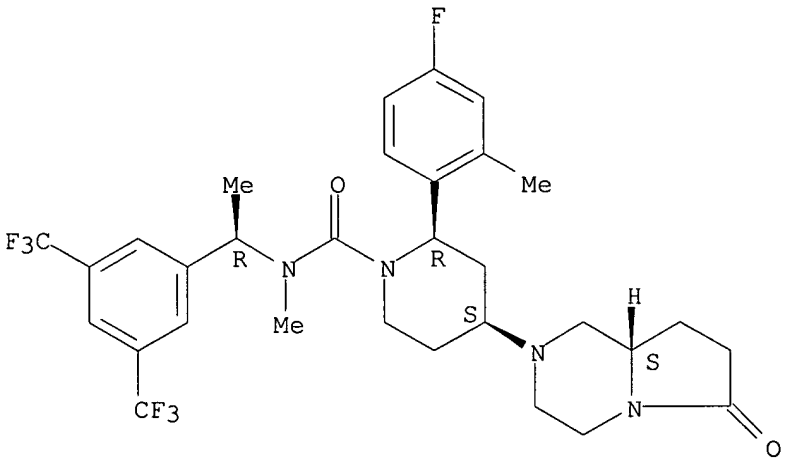
AB The present invention relates to the use of NK1 receptor antagonists for the treatment of functional dyspepsia (FD). For example, the use of NK1 receptor antagonists in the treatment of FD were supported by appropriate clin. trials in a double-blinded and placebo-matched study. Particularly the use of the compds. 2-(S)-(4-fluoro-2-methylphenyl)piperazine-1-carboxylic acid [1-(R)-[3,5-bis(trifluoromethyl)phenyl]ethyl]methylamide and its methanesulfonate salt, and 2-methoxy-5-(5-trifluoromethyltetrazol-1-ylbenzyl)-(2S-phenylpiperidin-3S-yl)amine in the treatment of FD was designed to elicit measurements relating to efficacy and safety across a range of three doses. The primary endpoint was adequate relief of FD pain or discomfort, secondary endpoint included (1)changes in daily upper abdominal pain severity ratings, (2) proportion of pain free days, (3) changes in self-ratings of nausea, early satiety, bloating or distension, postprandial fullness and burping or belching, and (4) changes in quality of life.

IT 579475-18-6
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(NK1 receptor antagonists for treatment of functional dyspepsia)

RN 579475-18-6 CAPLUS

CN 1-Piperidinecarboxamide, N-[(1R)-1-[3,5-bis(trifluoromethyl)phenyl]ethyl]-2-(4-fluoro-2-methylphenyl)-4-[(8aS)-hexahydro-6-oxopyrrolo[1,2-a]pyrazin-2(1H)-yl]-N-methyl-, (2R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:950997 CAPLUS
DN 140:16648
TI Preparation of N-(arylmethoxycarbonyl)- and N-(arylmethylaminocarbonyl)piperidines as substance P receptor antagonists
IN Takahashi, Masami; Miyake, Tsutomu; Moritani, Yasunori; Asai, Hidetoshi; Ishii, Taketoshi; Kono, Rikako
PA Tanabe Seiyaku Co., Ltd., Japan
SO PCT Int. Appl., 307 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003099787	A1	20031204	WO 2003-JP6720	20030529

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT,

LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL,
PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,
UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

JP 2004143139	A2	20040520	JP 2003-148644	20030527
CA 2487306	AA	20031204	CA 2003-2487306	20030529
AU 2003240015	A1	20031212	AU 2003-240015	20030529
BR 2003011410	A	20050315	BR 2003-11410	20030529
EP 1513814	A1	20050316	EP 2003-733139	20030529
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1656071	A	20050817	CN 2003-812260	20030529
NO 2004005508	A	20050214	NO 2004-5508	20041216
US 2005239829	A1	20051027	US 2005-515845	20050613
PRAI JP 2002-155744	A	20020529		
US 2002-395342P	P	20020712		
JP 2002-248755	A	20020828		
US 2002-409595P	P	20020911		
WO 2003-JP6720	W	20030529		

OS MARPAT 140:16648

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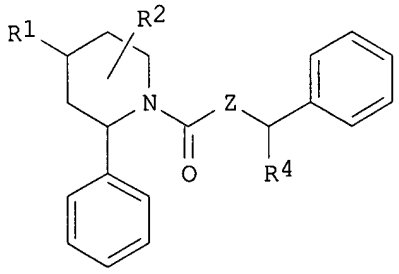

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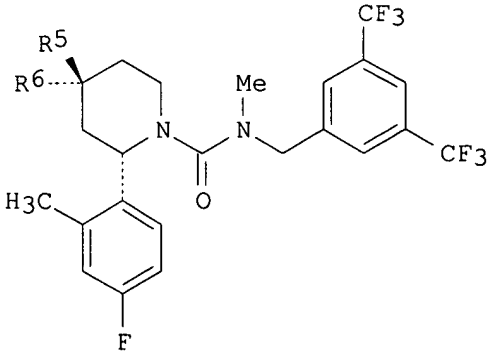


II

AB N-(arylmethoxycarbonyl)- and N-(arylmethylaminocarbonyl)piperidines I [R1 = alkyl, (un)substituted hydroxy, mercapto, carbonyl, sulfinyl, sulfonyl, R11R12N; R2 = H, halogen, (un)substituted hydroxy, amino, alkyl, or carbonyl group; R3, R4 = H, (un)substituted alkyl; R11, R12 = H, (un)substituted carbonyl, sulfonyl, alkyl, heterocyclyl (containing 1-4 nitrogen, oxygen, or sulfur atoms); R11R12N may form an (un)substituted

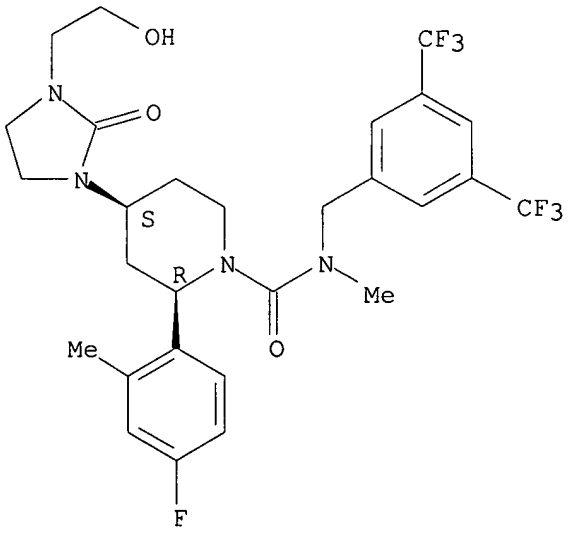
heterocyclyl moiety from the list of piperidinyl, hexahydroazepinyl, pyrrolidinyl, imidazolidinyl, hexahydropyrimidinyl, thiazolidinyl, morpholinyl, triazolyl, tetrazolyl, purinyl; Z = O, NR3; both of the explicit Ph rings may be substituted] such as II are prepared as tachykinin receptor antagonists (and particularly substance P receptor antagonists) for the treatment of inflammation, allergies, pain, nausea, central nervous system and digestive diseases, and urinary and immune disorders. Addition of 4-fluoro-2-methylphenylmagnesium bromide to 4-methoxypyridine followed by acylation with benzyloxycarbonyl chloride, reduction of the dihydropiperidone with zinc and acetic acid, protection of the ketone as the di-Me acetal, reduction of the benzyloxycarbonyl group with hydrogen in the presence of palladium on carbon, addition of 3,5-(F3C)2C6H3CH2NHMe to 1,1'-carbonylimidazole followed by addition of the piperidine, acid cleavage of the acetal, and reduction of the ketone, gives a mixture of the racemic piperidinols II (R5 = H, HO; R6 = HO, H). Approx. 500 example compds. are prepared (no biol. data).

IT 629953-08-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(title compound; preparation of N-(arylmethoxycarbonyl)- and N-(arylmethylaminocarbonyl)piperidines as substance P receptor antagonists for the treatment of inflammation and conditions such as urinary disorders)

RN 629953-08-8 CAPLUS

CN 1-Piperidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-(4-fluoro-2-methylphenyl)-4-[3-(2-hydroxyethyl)-2-oxo-1-imidazolidinyl]-N-methyl-, (2R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:633712 CAPLUS
DN 139:180087
TI Preparation of pyrrolopyrazinylpiperidinecarboxamides as tachykinin antagonists.
IN Alvaro, Giuseppe; Di Fabio, Romano; Tranquillini, Maria Elvira; Spada, Simone
PA Glaxo Group Limited, UK

SO PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003066635	A1	20030814	WO 2003-EP1308	20030210
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2475619	AA	20030814	CA 2003-2475619	20030210
AU 2003205753	A1	20030902	AU 2003-205753	20030210
EP 1472256	A1	20041103	EP 2003-702621	20030210
EP 1472256	B1	20051102		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003007123	A	20041207	BR 2003-7123	20030210
CN 1628115	A	20050615	CN 2003-803431	20030210
US 2005176715	A1	20050811	US 2003-502255	20030210
JP 2005524637	T2	20050818	JP 2003-566008	20030210
AT 308543	E	20051115	AT 2003-702621	20030210
ES 2250870	T3	20060416	ES 2003-3702621	20030210
EP 1666465	A1	20060607	EP 2005-77500	20030210
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, CY, AL, TR, BG, CZ, EE, HU, SK				
ZA 2004004842	A	20050726	ZA 2004-4842	20040618
NO 2004003239	A	20040802	NO 2004-3239	20040802
PRAI GB 2002-3020	A	20020208		
EP 2003-702621	A3	20030210		
WO 2003-EP1308	W	20030210		

OS MARPAT 139:180087
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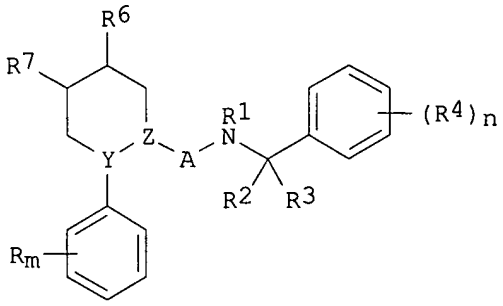

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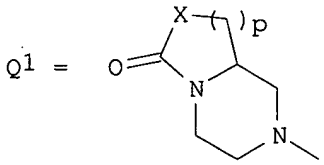


AB Title compds. [I; R = halo, C1-4 alkyl; R1 = C1-4 alkyl; R2, R3 = H, C1-4 alkyl; R4 = CF3, C1-4 alkyl, C1-4 alkoxy, OCF3, halo; R5 = H, C1-4 alkyl, C3-7 cycloalkyl; R6 = H, R7 = Q1, or vice versa; X = CH2, NR5, O; Y = N, Z = CH, or vice versa; A = CO, SOq; when Y = N and Z = CH, A ≠ SOq; m = 0-3; n = 1-3; p, q = 1, 2], were prepared Thus, 2-(R)-(4-fluoro-2-methylphenyl)-4-oxopiperidine-1-carboxylic acid [3,5-bis(trifluoromethyl)benzyl]methylamide (preparation given) and

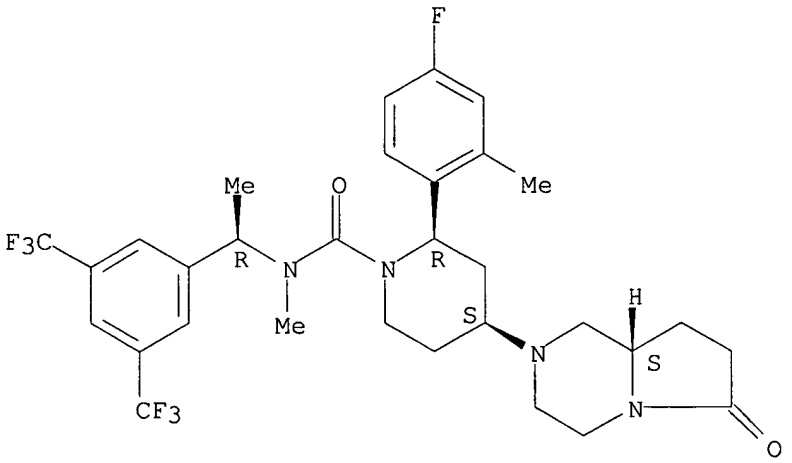
hexahydropyrrolo[1,2-a]pyrazin-6-one (preparation given) were stirred 15 min. in MeCN; NaBH(OAc)3 was added and the mixture was stirred 2 days to give 2-(R)-(4-fluoro-2-methylphenyl)-4-(R)-(6-oxohexahydropyrrolo[1,2-a]pyrazin-2-yl)piperidine-1-carboxylic acid [3,5-bis(trifluoromethyl)benzyl]methylamide and 2-(R)-(4-fluoro-2-methylphenyl)-4-(S)-(6-oxohexahydropyrrolo[1,2-a]pyrazin-2-yl)piperidine-1-carboxylic acid [3,5-bis(trifluoromethyl)benzyl]methylamide. I showed NK1 receptor binding activity with pKi = 9.4-11.0. I pharmaceutical compns. are claimed.

IT 579475-21-1P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrrolopyrazinylpiperidinecarboxamides as tachykinin antagonists)

RN 579475-21-1 CAPLUS

CN 1-Piperidinecarboxamide, N-[(1R)-1-[3,5-bis(trifluoromethyl)phenyl]ethyl]-2-(4-fluoro-2-methylphenyl)-4-[(8aS)-hexahydro-6-oxopyrrolo[1,2-a]pyrazin-2(1H)-yl]-N-methyl-, monohydrochloride, (2R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:633694 CAPLUS
DN 139:180086
TI Preparation of piperazinylpiperidinecarboxamides as tachykinin antagonists.
IN Alvaro, Giuseppe; Di Fabio, Romano
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003066621	A1	20030814	WO 2003-GB501	20030205
	WO 2003066621	C1	20031113		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU	2003207023	A1	20030902	AU 2003-207023	20030205
EP	1472243	A1	20041103	EP 2003-704763	20030205
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US	2005096313	A1	20050505	US 2003-502261	20030205
JP	2005524636	T2	20050818	JP 2003-565994	20030205
PRAI GB	2002-3022	A	20020208		
WO	2003-GB501	W	20030205		

OS MARPAT 139:180086

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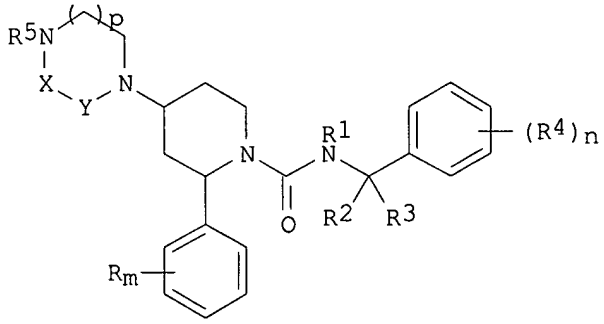


I

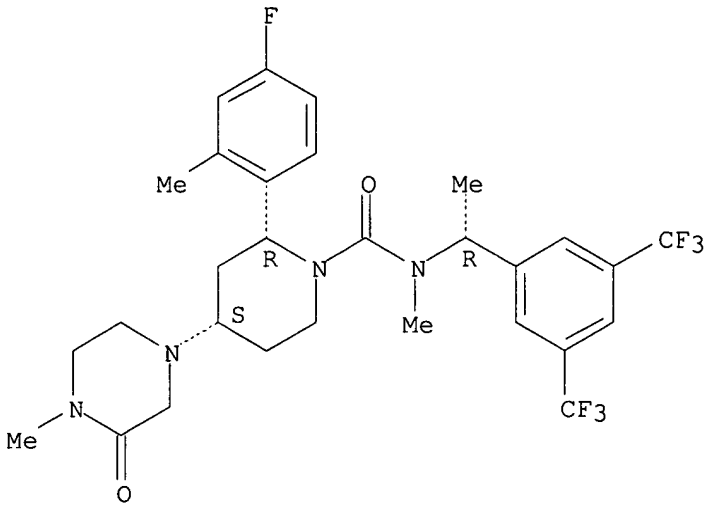
AB Title compds. [I; R = halo, C1-4 alkyl; R1-R3 = H, C1-4 alkyl; R4 = CF3, C1-4 alkyl, C1-4 alkoxy, F3CO, halo; R5 = H, C1-4 alkyl, C3-7 cycloalkyl, COR6, SO2R6; R6 = C1-4 alkyl, C3-7 cycloalkyl; m = 0-3; n = 1-3; p = 1,2; X, Y = CO, CH2; provided that X and Y are not both CO and when X and Y both = CH2 and p = 1, R5 ≠ H, C1-4 alkyl, COR6], were prepared Thus, 2-(R)-4-fluoro-2-methylphenyl-4-oxopiperidine-1-carboxylic acid [3,5-bis(trifluoromethyl)benzyl]methylamide (preparation given) and piperazin-2-one were stirred 16 h in CH2Cl2/MeCN; NaBH(OAc)3 was added and the mixture was stirred 6 h to give 2-(R)-(4-fluoro-2-methylphenyl)-4-(R)-(3-oxopiperazin-1-yl)piperidine-1-carboxylic acid [3,5-bis(trifluoromethyl)benzyl]methylamide and 2-(R)-(4-fluoro-2-methylphenyl)-4-(S)-(3-oxopiperazin-1-yl)piperidine-1-carboxylic acid [3,5-bis(trifluoromethyl)benzyl]methylamide. I showed affinity for NK1 receptors with pKI = 9.80-10.5. I pharmaceutical compns. are claimed.

IT 578706-17-9P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of piperazinylpiperidinecarboxamides as tachykinin antagonists)

RN 578706-17-9 CAPLUS

CN 1-Piperidinecarboxamide, N-[(1R)-1-[3,5-bis(trifluoromethyl)phenyl]ethyl]-2-(4-fluoro-2-methylphenyl)-N-methyl-4-(4-methyl-3-oxo-1-piperazinyl)-, (2R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:314909 CAPLUS
DN 136:325568
TI Preparation of 2-phenyl-4-piperazinylpiperidine-1-carboxylic acid phenylalkylamides as tachykinin antagonists for treatment of CNS disorders
IN Alvaro, Giuseppe; Di Fabio, Romano; Maragni, Paolo; Tampieri, Marsia; Tranquillini, Maria Elvira
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002032867	A1	20020425	WO 2001-GB4580	20011012
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2425876	AA	20020425	CA 2001-2425876	20011012
	AU 2001095723	A5	20020429	AU 2001-95723	20011012
	EP 1326832	A1	20030716	EP 2001-976453	20011012
	EP 1326832	B1	20041006		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	BR 2001014637	A	20030930	BR 2001-14637	20011012
	JP 2004511544	T2	20040415	JP 2002-536051	20011012
	AT 278669	E	20041015	AT 2001-976453	20011012
	PT 1326832	T	20050131	PT 2001-976453	20011012
	ES 2227287	T3	20050401	ES 2001-1976453	20011012
	EP 1524266	A1	20050420	EP 2004-77714	20011012
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, CY, TR				
	NZ 525091	A	20050429	NZ 2001-525091	20011012

	NO 2003001561	A	20030614	NO 2003-1561	20030407
	ZA 2003002801	A	20040421	ZA 2003-2801	20030410
	US 2004014770	A1	20040122	US 2003-398264	20030729
	US 7119092	B2	20061010		
	HK 1058788	A1	20050527	HK 2004-100241	20040113
	US 2005137208	A1	20050623	US 2004-994605	20041122
	US 7060702	B2	20060613		
	AU 2005204234	A1	20050915	AU 2005-204234	20050824
	US 2006142302	A1	20060629	US 2006-358631	20060221
PRAI	GB 2000-25354	A	20001017		
	EP 2001-976453	A3	20011012		
	WO 2001-GB4580	W	20011012		
	US 2003-398264	A1	20030729		
	US 2004-994605	A1	20041122		

OS MARPAT 136:325568

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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

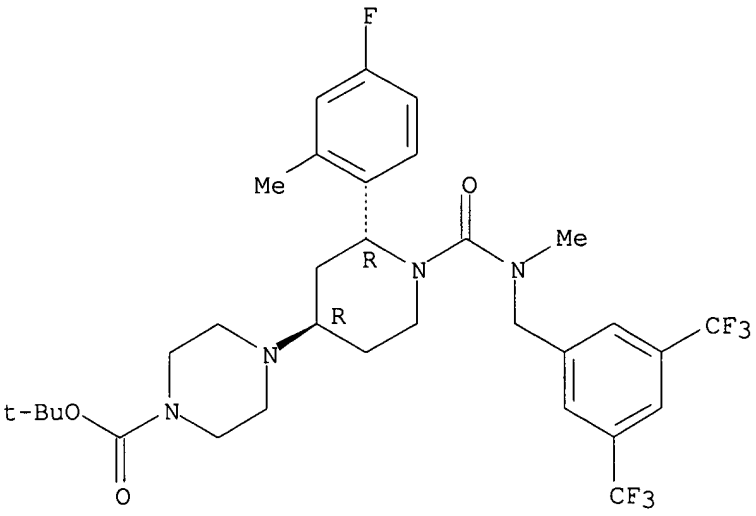
AB Title compds. I [R = halo or alkyl; R1 = alkyl; R2 = H or alkyl; R3 = H or alkyl; R4 = CF3; R5 = H, alkyl, or COR6; R6 = (cyclo)alkyl or (di)alkylamino; m = 0-3; n = 1-3; and pharmaceutically acceptable salts and solvates thereof] were prepared as tachykinin antagonists. For example, reductive amination 2-(R)-(4-fluoro-2-methylphenyl)-4-oxopiperidine-1-carboxylic acid [1-(R)-[3,5-bis(trifluoromethyl)phenyl]ethyl]methylamide (preparation given) with 1-acetylpiperazine using sodium triacetoxyborohydride gave a mixture of diastereomers. 4(R)-II and 4-(S)-II were separated by flash chromatog. and the latter converted to its HCl salt. In a neurokinin 1 (NK1) receptor binding affinity assay, 4-(S)-II•HCl displace [3H]-substance P from recombinant human NK1 receptors expressed in Chinese Hamster Ovary cell membranes with pKiof 9.36. In addition, oral administration of 4-(S)-II•HCl to gerbils inhibited foot tapping induced by an NK1 agonist with ED50 of 0.05 mg/kg. I are useful for the treatment of CNS disorders, pain, sleep disorders, cognitive disorders, substance abuse, allergic disorders, emesis, gastrointestinal disorders, and depressive states (no data).

IT 414910-17-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of piperazinylpiperidinecarboxylic acid phenylalkylamides as tachykinin antagonists for treatment of CNS disorders)

RN 414910-17-1 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[(2R,4R)-1-[[[[3,5-bis(trifluoromethyl)phenyl]methyl]methylamino]carbonyl]-2-(4-fluoro-2-methylphenyl)-4-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	41.80	209.39

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-6.00	-6.00

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FILE COVERS 1907-1966
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

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(FILE 'HOME' ENTERED AT 23:47:19 ON 29 OCT 2006)

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